

ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 25 26 27 28 29 30 chain bonds :
16-25
ring bonds :
1-2 1-5 2-3 2-10 3-4 3-13 3-14 4-5 4-6 5-9 6-7 6-17 7-8 8-9 10-11
11-12 12-13 14-15 15-16 16-17 25-26 25-30 26-27 27-28 28-29 29-30 exact/norm bonds :
1-2 1-5 2-3 2-10 3-4 3-13 3-14 6-17 10-11 11-12 12-13 14-15 15-16 16-17 16-25 normalized bonds :
4-5 4-6 5-9 6-7 7-8 8-9 25-26 25-30 26-27 27-28 28-29 29-30 isolated ring systems : containing 25 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

$$\begin{array}{c} \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{O} - 2 \end{array}$$

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 11:58:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 375 TO ITERATE

7 SEA SSS FUL L1

100.0% PROCESSED 3

375 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

=> fil caplus

L2

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 161.33 SESSION 161.54

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FILE COVERS 1907 - 18 Jul 2005 VOL 143 ISS 4 FILE LAST UPDATED: 17 Jul 2005 (20050717/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12 L3 3 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2005:300305 CAPLUS DOCUMENT NUMBER: 142:374012

DOCUMENT NUMBER: TITLE: 142:374012
Preparation of N-alkylgalanthamines and related compounds for the treatment of central nervous system diseases
Czollner, Laszlo; Kaelz, Beate; Welzig, Stefan; Frantsits, Werner J.; Jordis, Ulrich; Froehlich, Johannes
Sanochemia Pharmazeutika A.-G., Austria PCT Int. Appl., 70 pp.
CODEN: PIXKD2
Patent
German
2

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		TENT				KIN	D	DATE			APPL					_	ATE	
							-									-		
	WO 2005030333 WO 2005030333			A2		20050407		WO 2004-AT309						20040909				
					A3		20050623											
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	cu,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	KZ,.	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NΑ,	NI,
			NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	UG,	US,	UΖ,	VC,	٧N,	YU,	žΑ,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
			SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GΩ,	G₩,	ML,	MR,	NE,
			SN,	TD.	TG													

PRIORITY APPLN. INFO.: AT 2003-1538 A 20030929 AT 2004-1174 A 20040712

Title compds. I $\{R1, R2 = H, OH; X = H, Br; Z = CH2CCH; CH2C(CH2)CH3, CO(CH2)nCl, etc.; n = 0-6\}$ and their pharmaceutically acceptable salts

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2005:300304 CAPLUS DOCUMENT NUMBER: 142:367688

Use of galanthamine and the derivatives thereof in TITLE:

production of medicaments for the treatment of postoperative delirium Bodenteich, Angelika: Frantsits, Werner J.: Pirich, Eberhard: Czoliner, Laszlo Sanochemia Pharmazeurtika A.-G., Austria INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 62 pp. CODEN: PIXXD2 Patent

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA:	FENT	NO.			KIN	D	DATE			APPL	ICAT	ION .	NO.		D.	ATE	
WO	WO 2005030332			A2		20050407		WO 2004-AT251						2	0040	0712	
₩O	WO 2005030332			A3		20050602											
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	cu,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	₽H,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
		SN,	TD,	TG													
PRIORIT	APP	LN.	INFO	.:						AT 2	003-	1538			A 2	0030	929

OTHER SOURCE(S): MARPAT 142:367688

AB The invention discloses the use of galanthamine and the cholinergically active derivs. thereof in the production of medicaments for preventive treatment of postoperative delirium and/or subsyndronal postoperative delirium. Galanthamine, the galanthamine derivative(4a5, 6R, 8a5)-6-hydroxy-3-methoxy-1-methyl-4a,5,9,10-tetrahydro-6H-benzofuro(3a,3,2-ef) [2]benzazepinium bromide, and analogous selts, hydrates or solvates are suited for use according to the invention.

IT 365570-33-8 46232-80-0

Relative stereochemistry.

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) were prepd. For example, 4-bromobenzyl bromide N-alkylation of (-)-norgalanthamine, afforded alkylatalnthamine II in 70% yield. In acetylcholinesterase inhibition assays, 60-examples of compds. I

| In The Computer | In The Com NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849232-80-0 CAPLUS 6H-Benzofuro[3a,3,2-ef][2]benzazepin-6-ol, 11-[4,6-bis[3-(dimethylamino)propoxy]-1,3,5-triazin-2-yl]-4a,5,9,10,11,12-hexahydro-3-methoxy-, (4aR,65,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2001:747793 CAPLUS DOCUMENT NUMBER: 135:304054

DOCUMENT NUMBER: TITLE: pharmaceutical Preparation of galanthamine analogs for

use as acetyl- and butyrylcholinesterase inhibitors Jordis, Ulrich: Froehlich, Johannes: Treu, Matthias: Hirnschall, Manfred; Czollner, Laszlo: Kaelz, Beate; Welzig, Stefan Sanochemia Pharmazeutika A.-G., Austria PCT Int. Appl., 285 pp. CODEN: PIXXD2 Patent German INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. CO PATENT INFORMATION: COUNT:

PATENT NO.

WO 2001074820 A

W: AE, AL, AM, AT

DE, DK, EE, ES

JP, KE, KG, KI

MM, MM, MM, NK

TM, TR, TT, UI

ND, DK, ES, F

BJ, CF, CG, C

CA 2369966

EP 1181294

EP 1181294

EP 1181294

EP 181, LT,

BR 2001005563

JP 2003529602

NZ 516302

AT 263171

PT 1181294

ES 2215885

RU 2241001

BG 106155

NO 2001005857

US 2003199493

HK 1045990

PRIORITY APPLN. INFO.: AT 20011011 W0 2001-AT82 20010322
AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, ES, FI, GB, GD, GG, GH, GM, KIR, HU, ID, II, IN, IS, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, TM, LS, LT, LU, CN, LT, ES, TR, BF, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AA 20011011 CA 2001-2368966 20010322
AI 20020227 EP 2001-914813 20010322
BI 20040331
DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LV, FI, RO
A 20020402 BR 2001-5553 20010322
TZ 20031007 JP 2001-516302 20010322
TZ 20031007 JP 2001-516302 20010322
TZ 20040151 AT 2001-516302 20010322
TZ 20040730 PT 2001-914813 20010322
TZ 20040730 PT 2001-915899 20010322
A 20020129 NO 2001-5857
AL 20031023 NS 2002-980025 20020318
AL 20050128 HX 2002-980025 20020318
AL 20050128 HX 2002-5466 A 20000331 PATENT NO. KIND DATE 20010215

EP 2001-914813

20010322 20010322

OTHER SOURCE(S): MARPAT 135:304054

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

365570-33-8P 365570-34-9P 365570-35-0P

365570-36-1F

RL: BAC (Biological activity or effector, except adverse); BSU study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of galanthamine analogs for pharmaceutical use as
acetyl- and

acetyl- and
butyrylcholinesterase inhibitors)

RN 365570-33-8 CAPLUS

CN 6H-Benzofuro[3a,3,2-ef][2]benzazepin-6-o1,

11-[4,6-bis(diethylamino)-1,3,5triazin-2-yl]-4a,5,9,10,11,12-hexahydro-3-methoxy-, (4aR,6S,8aR)-rel(SCI) (CA INDEX NAME)

Relative stereochemistry.

365570-34-9 CAPLUS 6H-Benzofuro[3a,3,2-ef][2]benzazepin-6-ol, (4,6-diphenoxy-1,3,5-triazin-2-y1]-4a,5,9,10,11,12-hexahydro-3-methoxy-, (4aR,63,8aR)-rel- (9CI) (CA INDEX NAME)

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

Galanthamine derivs. and analogs, such as I [R1, R2 = H, Cn, OH, SH, NO2, SO3H, PO3H, PO3H, NH2, halogen, etc.; R3 = OH, OMe; R4 = OH, alkyloxy, alknyloxy, cycloalkyloxy, aryloxy, etc.; G1, G2, G3 = CH2, (CH2)2, (CH2)3, CH(OH), etc.; W = CH2, NR5, etc.; R5 = alkyl, acyl, aryl, etc.; Mere prepared for therapeutic use as acetyl- and butyrylcholinesterase inhibitors. Thus, (t)-galanthamine derivative II

prepared in 80.8% yield by condensation of (\pm) -norgalanthamine with 2-chloropyrimidine using NaHCO3 in EtOH. The prepared galanthamine

vs. and analogs were tested for acetyl- and butyrylcholinesterase inhibiting

activity.

IT 365570-32-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

(Biological sucrivity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of galanthamine analogs for pharmaceutical use as acetyl- and butyrytcholinesterase inhibitors)
RN 365570-32-7 CAPLUS
CN 6H-Benzofuro[3a,3,2-et][2]benzazepin-6-ol,
11-(4,6-dichloro-1,3,5-triazin-2-yl)-4a,5,9,10,11,12-hexahydro-3-methoxy-, (4aR,65,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

Page 7

Relative stereochemistry.

365570-35-0 CAPLUS 6H-Benzofuro[3a,3,2-ef][2]benzazepin-6-ol, 11-(4,6-bis(2-aminoethoxy)-1,3,5-triazin-2-yl]-4a,5,9,10,11,12-hexahydro-3-methoxy-, (4aR,6S,9aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

365570-36-1 CAPLUS
6H-Benzofuro[3a, 3, 2-ef][2]benzazepin-6-ol, 11-[4,6-bis[2-(dimethylamino)ethoxy)-1, 3,5-triazin-2-yl]-4a,5,9,10,11,12-hexahydro-3-methoxy-, (4aR,65,8aR)-rel- [9CI] (CA INDEX NAME)

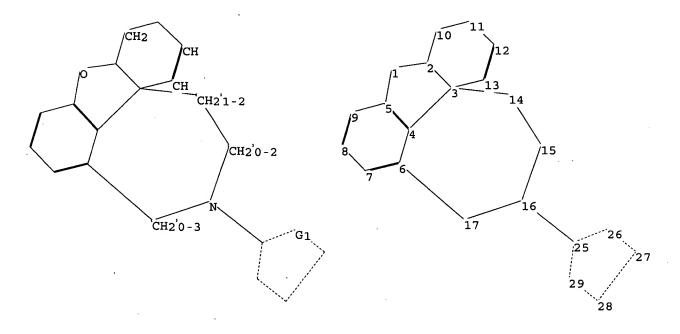
Relative stereochemistry.

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUN

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT



ring nodes:
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 25 26 27 28 29
chain bonds:
16-25
ring bonds:
1-2 1-5 2-3 2-10 3-4 3-13 3-14 4-5 4-6 5-9 6-7 6-17 7-8 8-9 10-11
11-12 12-13 14-15 15-16 16-17 25-26 25-29 26-27 27-28 28-29
exact/norm bonds:
1-2 1-5 2-3 2-10 3-4 3-13 3-14 6-17 10-11 11-12 12-13 14-15 15-16
16-17 16-25 25-26 25-29 26-27 27-28 28-29
normalized bonds:
4-5 4-6 5-9 6-7 7-8 8-9
isolated ring systems:
containing 25:

G1:0,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom

L4 STRUCTURE UPLOADED

=> d L4 HAS NO ANSWERS

L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 12:04:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13275 TO ITERATE

100.0% PROCESSED 13275 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L5 1 SEA SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
161.33
341.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

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FILE COVERS 1907 - 18 Jul 2005 VOL 143 ISS 4 FILE LAST UPDATED: 17 Jul 2005 (20050717/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

L6 2 L5

=> d ibib abs hitstr tot

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2005:300304 CAPLUS DOCUMENT NUMBER: 142:367688 production of medicaments for the treatment of postoperative delirium Bodenteink, Angelika; Frantsita, Werner J.; Pirich, Eberhard; Czollner, Laszlo Sanochemia Pharmazeutika A.-G., Austria PCT Int. Appl., 62 pp. CODEN: PIXXD2 Patent German 2 TITLE: INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE MO 2005030332

W0 2005030332

W1 AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
LK, LR, LS,
NO, NZ, OM,
TJ, TM, TN,
RW1 BW, GH, GM,
AZ, BY, KG,
EE, ES, FI,
SI, SK, TR,
SN, TD, TG
PRIORITY APPLN. INFO:: A2 A3 AM, AT, CU, C2, HR, HU, LT, LU, PG, PH, KE, LS, KZ, MD, FR, GB, BF, BJ, 20050407 WO 2004-AT251 20040712 20050407 20050602 AU, AZ, DE, DK, ID, IL, LV, MA, PL, PT, TZ, UA, MW, MZ, RU, TJ, GR, HU, CF, CG, BA, BB, BG, DM, DZ, EC, IN, IS, JP, MD, MG, MS, RO, RU, SC, UG, US, UZ, NA, SD, SL, TM, AT, BE, IE, IT, LU, CI, CM, GA, BY, BZ, ES, FI, KP, KR, MX, MZ, SG, SK, YU, ZA, UG, ZM, CY, CZ, PL, PT, GW, ML,

OTHER SOURCE(S):

MARPAT 142:36768

AB The invention discloses the use of galanthamine and the cholinergically active derivs. thereof in the production of medicaments for preventive treatment of postoperative delirium and/or subsyndronal postoperative delirium. Galanthamine, the galanthamine derivative (4as, 6n, 8as) -6-hydroxy-3-methoxy-11-methyl-4a,5,9,10-tetrahydro-6H-benzofuro[3a,3,2-ef] [2]benzazepinium bromide, and analogous salts, hydrates or solvates are suited for use according to the invention.

IT 365570-63-4

RL: PRC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (galanthamine and galanthamine derivs. for treatment of postoperative delirium)

RN 365570-63-4 CAPLUS

CN 6H-Benzofuro[3a,3,2-ef][2]benzazepin-6-0; Marchamine derivs-1-6-0; Memethov-11-6-0; Memethov

TITLE: pharmaceutical

INVENTOR (S):

edirium)
365570-63-4 CAPLUS
6H-Benzofuro[3a,3,2-ef][2]benzazepin-6-ol, 4a,5,9,10,11,12-hexahydro-3methoxy-11-(2-thienyl)-, (4a5,6R,8a8)- (9CI) (CA INDEX NAME)

Preparation of galanthamine analogs for

Absolute stereochemistry. Rotation (-).

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2001:747793 CAPLUS COLUMENT NUMBER: 135:304054

Jordis, Ulrich; Froehlich, Johannes; Treu, Matthias; Hirnschall, Manfred; Czollner, Laszlo; Kaelz, Beate; Welzig, Stefan Sanochemia Pharmazeutika A.-G., Austria PCT Int. Appl., 285 pp. CODEN: PIXXD2 Patent German PATENT ASSIGNEE (S): SOURCE: DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO 2001074820 A1 20011011 MO 2001 AT82 20010322

201074820 A1 20011011 MO 2001 AT82 20010322

DE, DK, EE, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JF, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, MD, RU, TJ, TM

RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, GR, HI, LU, MC, LL, PT, SE, TR, SF, BJ, CF, CG, CI, CM, GA, GH, GM, KL, ND, RU, TJ, TM

RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, SF, BJ, CF, CG, CI, CM, GA, GH, GM, ML, NR, NE, SN, TD, TG

2368966 A2001011 CA 2001-2368966 20010322

1181294 A1 20020227 EP 2001-914813 20010322

1181294 B1 20040331 DATE PATENT NO. KIND DATE WO 2001074820 W: AE, Al CA 2368966 EP 1181294 B1 20040331 B2 20040331 R: AT. BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO .

BR 2001005563 A 20020402 BR 2001-5563 20010322 P2003529602 T2 20031007 JP 2001-572510 20010322 P3 20010322 P4 20040227 P4 2001-572510 20010322 P4 20040227 P4 2001-516302 20010322 P7 1181294 T 20040730 P7 2001-914813 20010322 P7 1181294 T 20040730 P7 2001-914813 20010322 P7 1181294 P4 20040730 P7 2001-914813 20010322 P7 1181294 P4 20040730 P7 2001-914813 20010322 P7 200105105 P4 20020129 P7 2001-105155 20010322 P7 200105155 P4 20020129 P7 2001-105155 20011128 P7 2003199493 P7 2003199493

AT 2001-238 A 20010215 EP 2001-914813 A · 20010322 WO 2001-AT82 W 20010322

OTHER SOURCE(S): MARPAT 135:304054 L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Galanthamine derivs. and analogs, such as I [R1, R2 = H, Cn, OH, SH, NO2, SO3H, PO3H, NH2, halogen, etc.; R3 = OH, OMe; R4 = OH, alkyloxy, alknyloxy, excloalkyloxy, sryloxy, etc.; G1, G2, G3 = CH2, (CR2)2, (CH2)3, CH(OH), etc.; W = CH2, NR5, etc.; R5 = alkyl, acyl, aryl, etc.; were prepared for therapeutic use as acetyl- and butyrylcholinesterase inhibitors. Thus, (i)-galanthamine derivative II

prepared in 80.8% yield by condensation of (\pm) -norgalanthamine with 2-chloropyrimidine using NaHCO3 in EtOH. The prepared galanthamine

and analogs were tested for acetyl- and butyrylcholinesterase inhibiting activity. 365570-63-4P

IT 365570-63-49
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of galanthamine analogs for pharmaceutical use as acetyl- and

/l- and butyrylcholinesterase inhibitors)
365570-63-4 CAPLUS
6H-Benzofuro(3a, 3, 2-ef] [2]benzazepin-6-ol, 4a, 5, 9, 10, 11, 12-hexahydro-3-methoxy-11-(2-thienyl)-, (4aS, 6R, 8aS)- (9CI) (CA INDEX NAME)

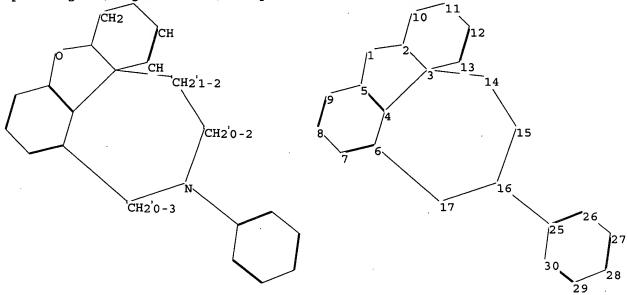
Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

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Uploading C:\Program Files\Stnexp\Queries\09-980025d.str



1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 25 26 27 28 29 30 chain bonds:
16-25
ring bonds:
1-2 1-5 2-3 2-10 3-4 3-13 3-14 4-5 4-6 5-9 6-7 6-17 7-8 8-9 10-11
11-12 12-13 14-15 15-16 16-17 25-26 25-30 26-27 27-28 28-29 29-30 exact/norm bonds:

1-2 1-5 2-3 2-10 3-4 3-13 3-14 6-17 10-11 11-12 12-13 14-15 15-16 16-17 16-25

normalized bonds :

4-5 4-6 5-9 6-7 7-8 8-9 25-26 25-30 26-27 \cdot 27-28 28-29 29-30 isolated ring systems :

containing 25 :

Match level :

ring nodes :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

L7 STRUCTURE UPLOADED

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L7 HAS NO ANSWERS

L7

STR

Structure attributes must be viewed using STN Express query preparation.

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REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 12:05:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10291 TO ITERATE

100.0% PROCESSED 10291 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L8

1 SEA SSS FUL L7

L9

2 L8

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:300304 CAPLUS
DOCUMENT NUMBER: 142:367688
TILE: Use of galanthamine and the derivatives thereof in the production of medicaments for the treatment of postoperative delirium Bodenteich, Angelike; Frantsits, Werner J.; Pirich, Eberhard; Czollner, Laszlo Sanochemia Pharmazeutika A.-G., Austria PCT Int. Appl., 62 pp. CODEN: PIXXD2 Patent German 2 INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE A2 A3 AM, AT, CU, CZ, HR, HU, LT, LU, PG, PH, TR, TT, KE, LS, KZ, MD, FR, GB, BF, BJ, 20050407 20050602 , AU, AZ, , DE, DK, , ID, IL, , LV, MA, , PL, PT, , TZ, UA, , MW, MZ, , RU, TJ, , GR, HU, , CF, CG, WO 2005030332 WO 2005030332 W: AE, A WO 2004-AT251 20040712 WO 2005030332
W: AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
LK, LR, LS,
NO, NZ, OM,
TJ, TM, TN,
RW: BW, GH, GM,
AZ, BY, KG,
EE, ES, FI,
SI, SK, TR,
SN, TD, TG
PRIORITY APPIN. INFO:: AT 2003-1538 A 20030929

OTHER SOURCE(S): MARPAT 142:367688

At the invention discloses the use of galanthamine and the cholinergically active derivs. thereof in the production of medicaments for preventive treatment of postoperative delirium and/or subsyndronal postoperative delirium. Galanthamine, the galanthamine derivative (4aS, 6R, 8aS)-6-hydroxyy-3-methoxy-11-methyl-4a, 5, 9, 10-tetrahydro-6H-benzofuro[3a, 3, 2-ef] [2]benzazepinium bromide, and analogous salts, hydrates or solvates are suited for use according to the invention.

IT 365570-62-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (galanthamine and galanthamine derivs. for treatment of postoperative delirium)

RN 365570-62-3 CAPLUS

CN 6H-Benzofuro[3a, 3, 2-ef][2]benzazepin-6-ol, 4a, 5, 9, 10, 11, 12-hexahydro-3-methoxy-11-phenyl-, (4aS, 6R, 8aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 2001:747793 CAPLUS
DOCUMENT NUMBER: 135:304054

TITLE: pharmaceutical Preparation of galanthamine analogs for

use as acetyl- and butyrylcholinesterase inhibitors
Jordis, Ulrich: Froehlich, Johannes: Treu, Matthias;
Hirnschall, Manfred: Czollner, Laszlo: Kaelz, Beate;
Welzig, Stefan
Sanochemia Pharmazeutika A.-G., Austria
PCT Int. Appl., 285 pp.
CODEN: PIXXD2
Patent
German INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

PR

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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			JP.	KE.	KG.	KP.	KR.	KZ.	LC.	LK.	LR.	LS,	LT.	LU.	LV.	MD,	MG,	MK,
			MN.	MW.	MX.	NO.	NZ.	PL.	PT.	RO.	RU.	SD,	SE.	SG.	SI.	SK,	SL,	TJ,
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												MR,						
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	ΕP		1294															
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO										
	BR	2001	10055	63		A		2002	0402		BR 2	2001- 2001- 2001- 2001-	5563			2	0010	322
	JΡ	2003	35296	02		T2		2003	1007		JP 2	2001-	5725	10		2	0010	322
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	нк	1045	5990			Al		2005	0128		HK 2	2002-	1062	31		. 2	0020	823
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											AT :	2001-	238			A 2	0010	215
											EP :	2001-	9148	13		A 2	0010	322

WO 2001-AT82

OTHER SOURCE(S): MARPAT 135:304054 L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\mathbb{R}^4$$
 \mathbb{R}^3
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Galanthamine derivs. and analogs, such as I [R1, R2 = H, Cn, OH, SH, NO2, SO3H, PO3H, NH2, halogen, etc.: R3 = OH, OMe: R4 = OH, alkyloxy, alknyloxy, excloalkyloxy, aryloxy, etc.: G1, G2, G3 = CH2, (CR2)2, (CR2)3, CH(OH), etc.: W = CH2, NR5, etc.: R5 = alkyl, acyl, aryl, etc.:), were prepared for therapeutic use as acctyl—and butyrylcholinesterase inhibitors. Thus, (i)-galanthamine derivative II AB

prepared in 80.8% yield by condensation of (\pm)-norgalanthamine with 2-chloropyrimidine using NaHCO3 in EtOH. The prepared galanthamine derivs. and analogs were tested for acetyl- and butyrylcholinesterase inhibiting

activity.

IT 365570-62-39

RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of galanthamine analogs for pharmaceutical use as acetyl- and

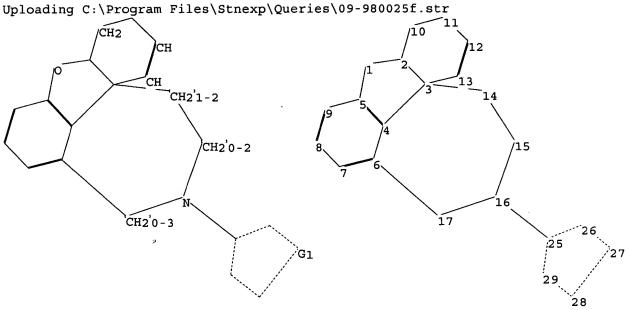
yı- ano butyrylcholinesterase inhibitors)
365570-62-3 CAPLUS
6H-Benzofuro[3a,3,2-ef][2]benzazepin-6-ol, 4a,5,9,10,11,12-hexahydro-3-methoxy-11-phenyl-, (4a5,6x,8a5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE 5

W 20010322



ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 chain bonds : 16-25 ring bonds : 1-2 1-5 2-3 2-10 3-4 3-13 3-14 4-5 4-6 5-9 6-7 6-17 7-8 8-9 10-11 11-12 12-13 14-15 15-16 16-17 25-26 25-29 26-27 27-28 28-29 exact/norm bonds : 1-2 1-5 2-3 2-10 3-4 3-13 3-14 6-17 10-11 11-12 12-13 14-15 15-16 16-17 16-25 25-26 25-29 26-27 27-28 28-29 normalized bonds : 4-5 4-6 5-9 6-7 7-8 8-9 isolated ring systems : containing 25 :

G1:0,S

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom

L10 · STRUCTURE UPLOADED

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L10 HAS NO ANSWERS L10 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 12:06:00 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 13275 TO ITERATE

100.0% PROCESSED 13275 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L11 0 SEA SSS FUL L10

L12 0 L11

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---Logging off of STN---

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.45	685.51
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-5.11

STN INTERNATIONAL LOGOFF AT 12:06:38 ON 18 JUL 2005